

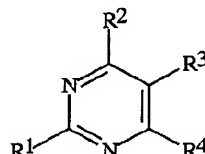
AMENDED CLAIMS

[received by the International Bureau on 04 August 2005 (04.08.2005);
new claims 15-17 added; remaining claims unchanged (6 pages)]

+STATEMENT

What is claimed is:

1. A compound selected from Formula I, an *N*-oxide or an agriculturally suitable salt thereof,



I

wherein

R^1 is cyclopropyl optionally substituted with 1–5 R^5 , isopropyl optionally substituted with 1–5 R^6 , or phenyl optionally substituted with 1–3 R^7 ;

R^2 is $((O)_jC(R^{15})(R^{16}))_kR$;

R is CO_2H or a herbicidally effective derivative of CO_2H ;

R^3 is halogen, cyano, nitro, OR^{20} , SR^{21} or $N(R^{22})R^{23}$;

R^4 is $-N(R^{24})R^{25}$ or $-NO_2$;

each R^5 and R^6 is independently halogen, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl, C_2 – C_6 alkenyl, C_2 – C_6 haloalkenyl, C_1 – C_3 alkoxy, C_1 – C_2 haloalkoxy, C_1 – C_3 alkylthio or C_1 – C_2 haloalkylthio;

each R^7 is independently halogen, cyano, nitro, C_1 – C_4 alkyl, C_1 – C_4 haloalkyl, C_3 – C_6 cycloalkyl, C_3 – C_6 halocycloalkyl, C_1 – C_4 hydroxyalkyl, C_2 – C_4 alkoxyalkyl, C_2 – C_4 haloalkoxyalkyl, C_2 – C_4 alkenyl, C_2 – C_4 haloalkenyl, C_3 – C_4 alkynyl, C_3 – C_4 haloalkynyl, hydroxy, C_1 – C_4 alkoxy, C_1 – C_4 haloalkoxy, C_2 – C_4 alkenyloxy, C_2 – C_4 haloalkenyloxy, C_3 – C_4 alkynyloxy, C_3 – C_4 haloalkynyloxy, C_1 – C_4 alkylthio, C_1 – C_4 haloalkylthio, C_1 – C_4 alkylsulfinyl, C_1 – C_4 haloalkylsulfinyl, C_1 – C_4 alkylsulfonyl, C_1 – C_4 haloalkylsulfonyl, C_2 – C_4 alkenylthio, C_2 – C_4 haloalkenylthio, C_2 – C_4 alkenylsulfinyl, C_2 – C_4 haloalkenylsulfinyl, C_2 – C_4 alkenylsulfonyl, C_2 – C_4 haloalkenylsulfonyl, C_3 – C_4 alkynylthio, C_3 – C_4 haloalkynylthio, C_3 – C_4 alkynylsulfinyl, C_3 – C_4 haloalkynylsulfinyl, C_3 – C_4 alkynylsulfonyl, C_3 – C_4 haloalkynylsulfonyl, C_1 – C_4 alkylamino, C_2 – C_8 dialkylamino, C_3 – C_6 cycloalkylamino, C_4 – C_6 (alkyl)cycloalkylamino, C_2 – C_6 alkylcarbonyl, C_2 – C_6 alkoxy carbonyl, C_2 – C_6 alkylaminocarbonyl, C_3 – C_8 dialkylaminocarbonyl, C_3 – C_6 trialkylsilyl, phenyl, phenoxy and 5- or 6-membered heteroaromatic rings, each phenyl, phenoxy and 5- or 6-membered heteroaromatic ring optionally substituted with one to three substituents independently selected from R^{45} ; or

two adjacent R^7 are taken together as $-OCH_2O-$, $-CH_2CH_2O-$, $-OCH(CH_3)O-$,
 $-OC(CH_3)_2O-$, $-OCF_2O-$, $-CF_2CF_2O-$, $-OCF_2CF_2O-$ or $-CH=CH-CH=CH-$;

R^{15} is H, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, hydroxy, C_1-C_4 alkoxy or C_2-C_4
 alkylcarbonyloxy;

5 R^{16} is H, halogen, C_1-C_4 alkyl or C_1-C_4 haloalkyl; or

R^{15} and R^{16} are taken together as an oxygen atom to form, with the carbon atom to
 which they are attached, a carbonyl moiety;

R^{20} is H, C_1-C_4 alkyl or C_1-C_3 haloalkyl;

R^{21} is H, C_1-C_4 alkyl or C_1-C_3 haloalkyl;

10 R^{22} and R^{23} are independently H or C_1-C_4 alkyl;

R^{24} is H, C_1-C_4 alkyl optionally substituted with 1-2 R^{30} , C_2-C_4 alkenyl optionally
 substituted with 1-2 R^{31} , or C_2-C_4 alkynyl optionally substituted with 1-2 R^{32} ;
 or R^{24} is $C(=O)R^{33}$, nitro, OR^{34} , $S(O)_2R^{35}$, $N(R^{36})R^{37}$ or $N=C(R^{62})R^{63}$;

R^{25} is H, C_1-C_4 alkyl optionally substituted with 1-2 R^{30} or $C(=O)R^{33}$; or

15 R^{24} and R^{25} are taken together as a radical selected from $-(CH_2)_4-$, $-(CH_2)_5-$,
 $-CH_2CH=CHCH_2-$ and $-(CH_2)_2O(CH_2)_2-$, each radical optionally substituted
 with 1-2 R^{38} ; or

R^{24} and R^{25} are taken together as $=C(R^{39})N(R^{40})R^{41}$ or $=C(R^{42})OR^{43}$;

each R^{30} , R^{31} and R^{32} is independently halogen, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,
 20 C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_3 alkylamino, C_2-C_4
 dialkylamino or C_2-C_4 alkoxycarbonyl;

each R^{33} is independently H, C_1-C_{14} alkyl, C_1-C_3 haloalkyl, C_1-C_4 alkoxy, phenyl,
 phenoxy or benzyloxy;

R^{34} is H, C_1-C_4 alkyl, C_1-C_3 haloalkyl or $CHR^{66}C(O)OR^{67}$;

25 R^{35} is C_1-C_4 alkyl or C_1-C_3 haloalkyl;

R^{36} is H, C_1-C_4 alkyl or $C(=O)R^{64}$;

R^{37} is H or C_1-C_4 alkyl;

each R^{38} is independently halogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,
 C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_3 alkylamino, C_2-C_4
 30 dialkylamino or C_2-C_4 alkoxycarbonyl;

R^{39} is H or C_1-C_4 alkyl;

R^{40} and R^{41} are independently H or C_1-C_4 alkyl; or

R^{40} and R^{41} are taken together as $-(CH_2)_4-$, $-(CH_2)_5-$, $-CH_2CH=CHCH_2-$ or
 $-(CH_2)_2O(CH_2)_2-$;

35 R^{42} is H or C_1-C_4 alkyl;

R^{43} is C_1-C_4 alkyl;

each R^{45} is independently halogen, cyano, nitro, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_6
 cycloalkyl, C_3-C_6 halocycloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_3-C_4

alkynyl, C₃-C₄ haloalkynyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁶² is H, C₁-C₄ alkyl or phenyl optionally substituted with 1-3 R⁶⁵;

R⁶³ is H or C₁-C₄ alkyl; or

R⁶² and R⁶³ are taken together as -(CH₂)₄- or -(CH₂)₅-;

R⁶⁴ is H, C₁-C₁₄ alkyl, C₁-C₃ haloalkyl, C₁-C₄ alkoxy, phenyl, phenoxy or benzyloxy;

each R⁶⁵ is independently CH₃, Cl or OCH₃;

R⁶⁶ is H, C₁-C₄ alkyl or C₁-C₄ alkoxy;

R⁶⁷ is H, C₁-C₄ alkyl or benzyl;

j is 0 or 1; and

k is 0 or 1;

provided that:

(a) when k is 0, then j is 0;

(b) when R² is CH₂OR^a wherein R^a is H, optionally substituted alkyl or benzyl, then R³ is other than cyano;

(c) when R¹ is phenyl substituted by Cl in each of the meta positions, the phenyl is also substituted by R⁷ in the para position;

(d) when R¹ is phenyl substituted by R⁷ in the para position, said R⁷ is other than *tert*-butyl, cyano or optionally substituted phenyl;

(e) when R¹ is cyclopropyl or isopropyl optionally substituted with 1-5 R⁶, then R is other than C(=W)N(R^b)S(O)₂-R^c-R^d wherein W is O, S, NR^e or NOR^e; R^b is hydrogen, C₁-C₄ alkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl; R^c is a direct bond or CHR^f, O, NR^e or NOR^e; R^d is an optionally substituted heterocyclic or carbocyclic aromatic radical having 5 to 6 ring atoms, the radical being optionally condensed with an aromatic or nonaromatic 5- or 6-membered ring; each R^e is independently H, C₁-C₃ alkyl, C₁-C₃ haloalkyl or phenyl; and R^f is H, C₁-C₃ alkyl or phenyl; and

(f) the compound of Formula I is other than diethyl 6-amino-5-nitro-2-phenyl-4-pyrimidinemalonate.

2. The compound of Claim 1 wherein

R² is CO₂R¹², CH₂OR¹³, CH(OR⁴⁶)(OR⁴⁷), CHO, C(=NOR¹⁴)H, C(=NNR⁴⁸R⁴⁹)H, (O)_jC(R¹⁵)(R¹⁶)CO₂R¹⁷, C(=O)N(R¹⁸)R¹⁹, C(=S)OR⁵⁰, C(=O)SR⁵¹, C(=S)SR⁵² or C(=NR⁵³)YR⁵⁴;

R^{12} is H, $-\text{CH}\{\text{C}(\text{O})\text{O}(\text{CH}_2)_m\}$, $-\text{N}=\text{C}(\text{R}^{55})\text{R}^{56}$; or a radical selected from $\text{C}_1\text{--C}_{14}$ alkyl, $\text{C}_3\text{--C}_{12}$ cycloalkyl, $\text{C}_4\text{--C}_{12}$ alkylcycloalkyl, $\text{C}_4\text{--C}_{12}$ cycloalkylalkyl, $\text{C}_2\text{--C}_{14}$ alkenyl, $\text{C}_2\text{--C}_{14}$ alkynyl and phenyl, each radical optionally substituted with 1–3 R^{27} ; or

5 R^{12} is a divalent radical linking the carboxylic ester function CO_2R^{12} of each of two pyrimidine ring systems of Formula I, the divalent radical selected from $-\text{CH}_2-$, $-(\text{CH}_2)_2-$, $-(\text{CH}_2)_3-$ and $-\text{CH}(\text{CH}_3)\text{CH}_2-$;

R^{13} is H, $\text{C}_1\text{--C}_{10}$ alkyl optionally substituted with 1–3 R^{28} , or benzyl;

R^{14} is H, $\text{C}_1\text{--C}_4$ alkyl, $\text{C}_1\text{--C}_4$ haloalkyl or benzyl;

10 R^{17} is $\text{C}_1\text{--C}_{10}$ alkyl optionally substituted with 1–3 R^{29} , or benzyl;

R^{18} is H, $\text{C}_1\text{--C}_4$ alkyl, hydroxy, $\text{C}_1\text{--C}_4$ alkoxy or $\text{S}(\text{O})_2\text{R}^{57}$;

R^{19} is H or $\text{C}_1\text{--C}_4$ alkyl;

each R^{27} is independently halogen, cyano, hydroxycarbonyl, $\text{C}_2\text{--C}_4$ alkoxycarbonyl, hydroxy, $\text{C}_1\text{--C}_4$ alkoxy, $\text{C}_1\text{--C}_4$ haloalkoxy, $\text{C}_1\text{--C}_4$ alkylthio, $\text{C}_1\text{--C}_4$

15 haloalkylthio, amino, $\text{C}_1\text{--C}_4$ alkylamino, $\text{C}_2\text{--C}_4$ dialkylamino, $-\text{CH}\{\text{O}(\text{CH}_2)_n\}$ or phenyl optionally substituted with 1–3 R^{44} ; or

two R^{27} are taken together as $-\text{OC}(\text{O})\text{O}-$ or $-\text{O}(\text{C}(\text{R}^{58})(\text{R}^{58}))_{1-2}\text{O}-$; or

two R^{27} are taken together as an oxygen atom to form, with the carbon atom to which they are attached, a carbonyl moiety;

20 each R^{28} is independently halogen, $\text{C}_1\text{--C}_4$ alkoxy, $\text{C}_1\text{--C}_4$ haloalkoxy, $\text{C}_1\text{--C}_4$ alkylthio, $\text{C}_1\text{--C}_4$ haloalkylthio, amino, $\text{C}_1\text{--C}_4$ alkylamino or $\text{C}_2\text{--C}_4$ dialkylamino; or

two R^{28} are taken together as an oxygen atom to form, with the carbon atom to which they are attached, a carbonyl moiety;

25 each R^{29} is independently halogen, $\text{C}_1\text{--C}_4$ alkoxy, $\text{C}_1\text{--C}_4$ haloalkoxy, $\text{C}_1\text{--C}_4$ alkylthio, $\text{C}_1\text{--C}_4$ haloalkylthio, amino, $\text{C}_1\text{--C}_4$ alkylamino or $\text{C}_2\text{--C}_4$ dialkylamino;

each R^{44} is independently halogen, $\text{C}_1\text{--C}_4$ alkyl, $\text{C}_1\text{--C}_3$ haloalkyl, hydroxy, $\text{C}_1\text{--C}_4$ alkoxy, $\text{C}_1\text{--C}_3$ haloalkoxy, $\text{C}_1\text{--C}_3$ alkylthio, $\text{C}_1\text{--C}_3$ haloalkylthio, amino, $\text{C}_1\text{--C}_3$ alkylamino, $\text{C}_2\text{--C}_4$ dialkylamino or nitro;

30 R^{46} and R^{47} are independently $\text{C}_1\text{--C}_4$ alkyl or $\text{C}_1\text{--C}_3$ haloalkyl; or

R^{46} and R^{47} are taken together as $-\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}(\text{CH}_3)-$ or $-(\text{CH}_2)_3-$;

R^{48} is H, $\text{C}_1\text{--C}_4$ alkyl, $\text{C}_1\text{--C}_4$ haloalkyl, $\text{C}_2\text{--C}_4$ alkylcarbonyl, $\text{C}_2\text{--C}_4$ alkoxycarbonyl or benzyl;

35 R^{49} is H, $\text{C}_1\text{--C}_4$ alkyl or $\text{C}_1\text{--C}_4$ haloalkyl;

R^{50} , R^{51} and R^{52} are H; or a radical selected from C_1-C_{14} alkyl, C_3-C_{12} cycloalkyl, C_4-C_{12} alkylcycloalkyl, C_4-C_{12} cycloalkylalkyl, C_2-C_{14} alkenyl and C_2-C_{14} alkynyl, each radical optionally substituted with 1-3 R^{27} ;

Y is O, S or NR^{61} ;

5 R^{53} is H, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_2-C_4 alkoxyalkyl, OH or C_1-C_3 alkoxy;

R^{54} is C_1-C_3 alkyl, C_1-C_3 haloalkyl or C_2-C_4 alkoxyalkyl; or

R^{53} and R^{54} are taken together as $-(CH_2)_2-$, $-CH_2CH(CH_3)-$ or $-(CH_2)_3-$;

R^{55} and R^{56} are independently C_1-C_4 alkyl;

R^{57} is C_1-C_4 alkyl, C_1-C_3 haloalkyl or $NR^{59}R^{60}$;

10 each R^{58} is independently selected from H and C_1-C_4 alkyl;

R^{59} and R^{60} are independently H or C_1-C_4 alkyl;

R^{61} is H, C_1-C_3 alkyl, C_1-C_3 haloalkyl or C_2-C_4 alkoxyalkyl;

m is an integer from 2 to 3; and

n is an integer from 1 to 4.

15 3. The compound of Claim 2 wherein R^3 is halogen.

4. The compound of Claim 2 wherein R^1 is cyclopropyl or phenyl substituted with a halogen, methyl or methoxy radical in the para position and optionally with 1-2 radicals selected from halogen and methyl in other positions; and R^4 is $-N(R^{24})R^{25}$.

5. The compound of Claim 4 wherein R^2 is CO_2R^{12} , CH_2OR^{13} , CHO or
20 $CH_2CO_2R^{17}$.

6. The compound of Claim 5 wherein R^{24} is H, $C(O)R^{33}$ or C_1-C_4 alkyl optionally substituted with R^{30} ; R^{25} is H or C_1-C_2 alkyl; or R^{24} and R^{25} are taken together as $=C(R^{39})N(R^{40})R^{41}$.

7. The compound of Claim 6 wherein R^2 is CO_2R^{12} ; and R^{24} and R^{25} are H.

25 8. The compound of Claim 7 wherein R^{12} is H, C_1-C_4 alkyl or benzyl.

9. The compound of Claim 1 selected from the group consisting of:

methyl 6-amino-5-bromo-2-cyclopropyl-4-pyrimidinecarboxylate,

ethyl 6-amino-5-bromo-2-cyclopropyl-4-pyrimidinecarboxylate,

phenylmethyl 6-amino-5-bromo-2-cyclopropyl-4-pyrimidinecarboxylate,

30 6-amino-5-bromo-2-cyclopropyl-4-pyrimidinecarboxylic acid monosodium salt,

methyl 6-amino-5-chloro-2-cyclopropyl-4-pyrimidinecarboxylate,

phenylmethyl 6-amino-5-chloro-2-cyclopropyl-4-pyrimidinecarboxylate,

6-amino-5-chloro-2-cyclopropyl-4-pyrimidinecarboxylic acid monosodium salt,

ethyl 6-amino-5-chloro-2-cyclopropyl-4-pyrimidinecarboxylate,

35 methyl 6-amino-5-chloro-2-(4-chlorophenyl)-4-pyrimidinecarboxylate,

ethyl 6-amino-5-chloro-2-(4-chlorophenyl)-4-pyrimidinecarboxylate,

6-amino-5-chloro-2-(4-chlorophenyl)-4-pyrimidinecarboxylic acid,
ethyl 6-amino-2-(4-bromophenyl)-5-chloro-4-pyrimidinecarboxylate,
methyl 6-amino-2-(4-bromophenyl)-5-chloro-4-pyrimidinecarboxylate, and
6-amino-2-(4-bromophenyl)-5-chloro-4-pyrimidinecarboxylic acid.

5 10. A herbicidal mixture comprising a herbicidally effective amount of a compound
of Claim 1 and an effective amount of at least one additional active ingredient selected from
the group consisting of an other herbicide and a herbicide safener.

11. A herbicidal mixture comprising synergistically effective amounts of a
compound of Claim 1 and an auxin transport inhibitor.

10 12. A herbicidal composition comprising a herbicidally effective amount of a
compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

13. A method for controlling the growth of undesired vegetation comprising
contacting the vegetation or its environment with a herbicidally effective amount of a
compound of Claim 1.

15 14. A herbicidal composition comprising a herbicidally effective amount of a
compound of Claim 1, an effective amount of at least one additional active ingredient
selected from the group consisting of an other herbicide and a herbicide safener, and at least
one of a surfactant, a solid diluent or a liquid diluent.

15 15. A compound which is 2-cyclopropyl-1,6-dihydro-6-oxo-4-pyrimidinecarboxylic
20 acid.

16. A compound which is 5-chloro-2-cyclopropyl-1,6-dihydro-6-oxo-4-pyrimidine-
carboxylic acid.

17. A compound which is 5,6-dichloro-2-cyclopropyl-4-pyrimidinecarboxylic acid